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10/13/05

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TECH CENTER

Scientific and Technical Information Center

SEARCH REQUEST FORM

Requester's Full Name: Sabiha Qazi Examiner #: 74141 Date: 10/7/05

Art Unit: 1616 Phone Number: 2-0612 Serial Number: 10/666,175

Location (Bldg/Room#): _____ (Mailbox #): _____ Results Format Preferred (circle): PAPER DISK

****

To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following:

Title of Invention: Novel Crystal form

Inventors (please provide full names): Pearlman et al.

Earliest Priority Date: 9/19/2002

Search Topic:

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.

For Sequence Searches Only ***Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.***

Cls 13–20

Please search for steroid derivative of cl 13 and its X-ray, and any crystallized form.

Please see attached sheet

****

STAFF USE ONLY

Searcher: _____

Searcher Phone #: _____

Searcher Location: _____

Date Searcher Picked Up: _____

Date Completed: _____

Searcher Prep & Review Time: _____

Online Time: _____

Type of Search

_____ NA Sequence (#)

_____ AA Sequence (#)

_____ Structure (#)

_____ Bibliographic

_____ Litigation

_____ Fulltext

_____ Other

Vendors and cost where applicable

_____ STN _____ Dialog

_____ Questel/Orbit _____ Lexis/Nexis

_____ Westlaw _____ WWW/Internet

____ In-house sequence systems

____ Commercial ____ Oligomer ____ Score/Length

____ Interference ____ SPDI ____ Encode/Transl

_____ Other (specify)

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=> d his full

     (FILE 'HOME' ENTERED AT 10:31:05 ON 13 OCT 2005)

     FILE 'REGISTRY' ENTERED AT 10:31:17 ON 13 OCT 2005

     FILE 'HCAPLUS' ENTERED AT 10:31:23 ON 13 OCT 2005
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L1             2 SEA ABB=ON  PLU=ON  US2003-666175/AP
               SEL RN

     FILE 'REGISTRY' ENTERED AT 10:32:58 ON 13 OCT 2005
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                 /BI OR 10049-08-8/BI OR 10139-51-2/BI OR 105-53-3/BI OR
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                 OR 993-02-2/BI)

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L5             2 SEA ABB=ON  PLU=ON  L4 AND L1
               D IALL HITSTR 1-2

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L8          9942 SEA ABB=ON  PLU=ON  OC4-C5-C6-C6-C6/ES AND OC4/ESS
L9          1518 SEA ABB=ON  PLU=ON  L8 AND "SPIRO"
L10            0 SEA SUB=L9 SSS SAM L6
L11            0 SEA SUB=L8 SSS SAM L6
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L14           0 SEA SUB=L8 SSS SAM L12
                D QUE
                DIS
L15             STR L12
L16           0 SEA SSS SAM L15
L17             STR L15
L18           0 SEA SSS SAM L17
L19             STR L17
L20           0 SEA SSS SAM L19
L21           9 SEA SSS FUL L19
                D SCA
                D QUE

     FILE 'HCAPLUS' ENTERED AT 10:53:01 ON 13 OCT 2005
L22           4 SEA ABB=ON  PLU=ON  L21

     FILE 'BEILSTEIN' ENTERED AT 10:53:11 ON 13 OCT 2005
L23           0 SEA SSS FUL L19

     FILE 'MARPAT' ENTERED AT 10:54:07 ON 13 OCT 2005
                D L17
                D L15
L24             STR L12
L25           0 SEA SSS SAM L24
L26           2 SEA SSS FUL L24
L27           1 SEA ABB=ON  PLU=ON  L26 NOT L22


     FILE HOME

     FILE REGISTRY
     Property values tagged with IC are from the ZIC/VINITI data file
     provided by InfoChem.

     STRUCTURE FILE UPDATES:   12 OCT 2005  HIGHEST RN 865114-63-2
     DICTIONARY FILE UPDATES:  12 OCT 2005  HIGHEST RN 865114-63-2

     New CAS Information Use Policies, enter HELP USAGETERMS for details.

     TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

       Please note that search-term pricing does apply when
       conducting SmartSELECT searches.

     ************************************************************
     *                                                          *
     * The CA roles and document type information have been removed from *
     * the IDE default display format and the ED field has been added,   *
     * effective March 20, 2005.  A new display format, IDERL, is now    *
     * available and contains the CA role and document type information. *
     *                                                          *
     ************************************************************

     Structure search iteration limits have been increased.  See HELP SLIMITS
     for details.
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REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

FILE HCAPLUS

FILE COVERS 1907 - 13 Oct 2005 VOL 143 ISS 16
FILE LAST UPDATED: 12 Oct 2005 (20051012/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE BEILSTEIN
FILE LAST UPDATED ON OCTOBER 10, 2005

FILE COVERS 1771 TO 2005.
FILE CONTAINS 9,363,954 SUBSTANCES

>>>PLEASE NOTE: Reaction Data and substance data are stored in separate documents and can not be searched together in one query. Reaction data for BEILSTEIN compounds may be displayed immediately with the display codes PRE (preparations) and REA (reactions). A substance answer set retrieved after the search for a chemical name, a compounds with available reaction information by combining with PRE/FA, REA/FA or more generally with RX/FA. The BEILSTEIN Registry Number (BRN) is the link between a BEILSTEIN compound and belonging reactions. For mo detailed reaction searches BRNs can be searched as reaction partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

>>> FOR SEARCHING PREPARATIONS SEE HELP PRE <<<

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* PLEASE NOTE THAT THERE ARE NO FORMATS FREE OF COST. *
* SET NOTICE FEATURE: THE COST ESTIMATES CALCULATED FOR SET NOTICE *
* ARE BASED ON THE HIGHEST PRICE CATEGORY. THEREFORE; THESE *
* ESTIMATES MAY NOT REFLECT THE ACTUAL COSTS. *
* FOR PRICE INFORMATION SEE HELP COST *
****

NEW
*** PATENT NUMBERS (PN) AND BABS ACCESSION NUMBERS (BABSAN) CAN NOW BE SEARCHED, SELECTED AND TRANSFERRED.**
*** NEW DISPLAY FORMATS ALLREF, ALLP AND BABSAN SHOW ALL REFERENCES,**

ALL PATENT REFERENCES, OR ALL BABS ACCESSION NUMBERS FOR A COMPOUND AT A GLANCE.

FILE MARPAT
FILE CONTENT: 1988-PRESENT (VOL 143 ISS 15)(20051007/ED)

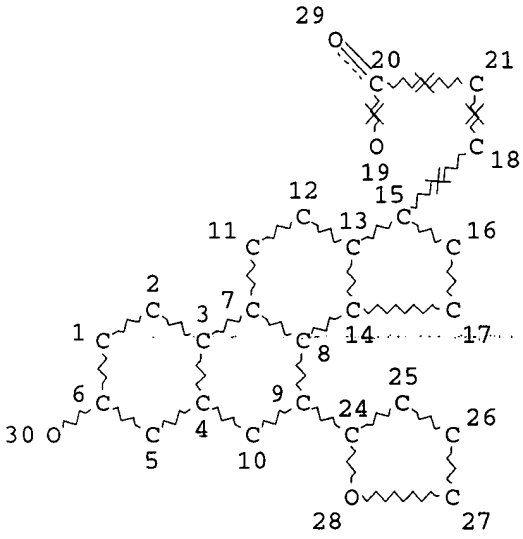
MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6916824 12 JUL 2005
DE 10359831 14 JUL 2005
EP 1550665 06 JUL 2005
JP 2005183717 07 JUL 2005
WO 2005079855 01 SEP 2005

Expanded G-group definition display now available.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

=> d que stat l22
L19 STR



NODE ATTRIBUTES:
CONNECT IS E1 RC AT 30
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 28

STEREO ATTRIBUTES: NONE
L21 9 SEA FILE=REGISTRY SSS FUL L19
L22 4 SEA FILE=HCAPLUS ABB=ON PLU=ON L21

=> d l22 ibib abs hitstr 1-4
YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS' - CONTINUE? (Y)/N:y

L22 ANSWER 1 OF 4 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:2013 HCAPLUS
DOCUMENT NUMBER: 142:92333
TITLE: Microbial method for hydrolysis and oxidation of androst-5-ene and pregn-5-ene steroid esters
INVENTOR(S): White, Michael Jon; Beck, Doris M.; Wuts, Peter Guillaume Marie; Gilbert, Ivan Gale
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 25 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004265948	A1	20041230	US 2004-842209	20040510
WO 2005000865	A1	20050106	WO 2004-IB1987	20040614

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

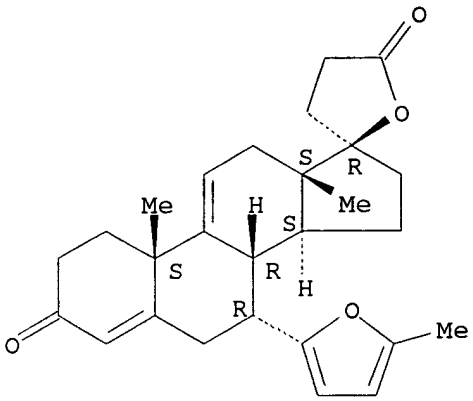
PRIORITY APPLN. INFO.: US 2003-482916P P 20030627
US 2003-483788P P 20030630
OTHER SOURCE(S): MARPAT 142:92333

AB A microbial method for hydrolysis and oxidation of androst-5-ene and pregn-5-ene steroid esters is disclosed.

IT **610785-40-5P 610785-47-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(microbial hydrolysis and oxidation of androst-5-ene and pregn-5-ene steroid esters)

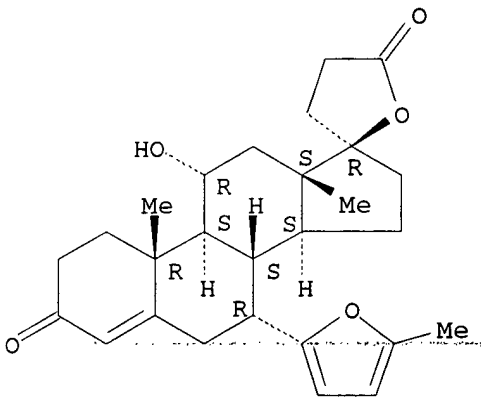
RN 610785-40-5 HCAPLUS
CN Pregna-4,9(11)-diene-21-carboxylic acid, 17-hydroxy-7-(5-methyl-2-furanyl)-3-oxo-, γ-lactone, (7α,17α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 610785-47-2 HCAPLUS
CN Pregn-4-ene-21-carboxylic acid, 11,17-dihydroxy-7-(5-methyl-2-furanyl)-3-oxo-, γ-lactone, (7α,11α,17α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

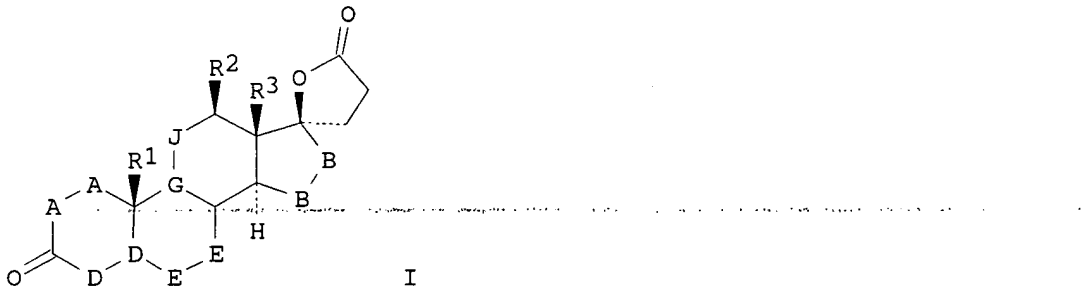


L22 ANSWER 2 OF 4 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:817907 HCAPLUS
DOCUMENT NUMBER: 141:314483
TITLE: Preparation of spirosteroids from 17-alkenyl or 17-alkynyl substrate via carbonylation, hydrogenation, dehydrogenation, furylation and other transformations
INVENTOR(S): Franczyk, Thaddeus S., II; Wagner, Grace M.
PATENT ASSIGNEE(S): Pharmacia Corporation, USA
SOURCE: PCT Int. Appl., 177 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004085458	A2	20041007	WO 2004-US8629	20040322

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2005090663 A1 20050428 US 2004-806081 20040322
PRIORITY APPLN. INFO.: US 2003-456716P P 20030321
OTHER SOURCE(S): MARPAT 141:314483
GI



I

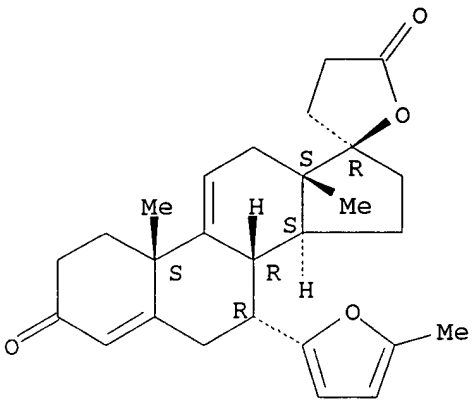
AB Steroids such as I (R1, R2, R3 = H, halo, haloalkyl, OH, alkyl, alkoxy, hydroxyalkyl, alkoxyalkyl, hydroxycarbonyl, CN, aryloxy; A-A, B-B, D-D, and G-J = substituted or unsubstituted double or single bond with R groups similar to those for R1, R2, R3 in the substituted case) comprising a 17-spirolactone or corresponding open lactone structure is obtained by carbonylation of a 17-alkenyl or 17-alkynyl substrate. A 17-alkenyl intermediate may be prepared by semi-hydrogenation of a 17-alkynyl group. Multiple reaction schemes are disclosed for preparation of a 3-keto-9,11-epoxy-17-spirolactone steroid such as eplerenone. Novel intermediates are also disclosed, as well as steps for forming such novel intermediates, or converting them to further intermediates or products, by semi-hydrogenation, carbonylation, 6,7-dehydrogenation, furylation or other transformations or combinations thereof.

IT **610785-40-5P**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of spirolactone steroid derivs. from 17-alkenyl or 17 alkynyl steroids via carbonylation, semi-hydrogenation, dehydrogenation, furylation and other transformations)

RN 610785-40-5 HCAPLUS

CN Pregna-4,9(11)-diene-21-carboxylic acid, 17-hydroxy-7-(5-methyl-2-furanyl)-3-oxo-, γ-lactone, (7α,17α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



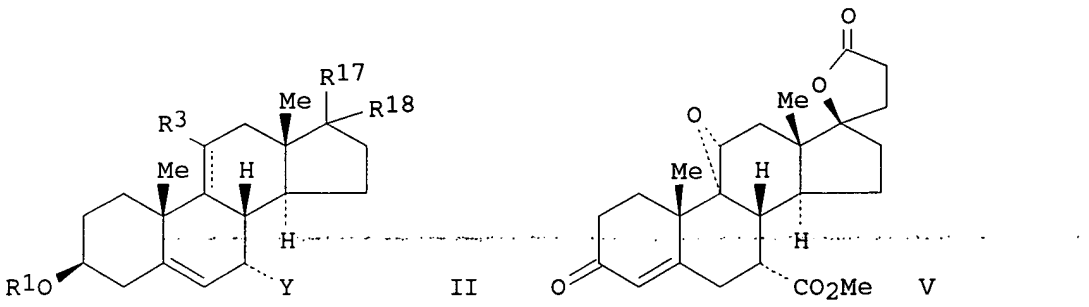
L22 ANSWER 3 OF 4 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:414628 HCAPLUS
DOCUMENT NUMBER: 140:423864
TITLE: Processes for preparing C-7 substituted steroids from 5-androsten-3β-ol-17-one
INVENTOR(S): Wuts, Peter Guillaume Marie
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 23 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004097475	A1	20040520	US 2003-392945	20030321
CA 2500580	AA	20040527	CA 2003-2500580	20030321
WO 2004043986	A1	20040527	WO 2003-US7284	20030321
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1562974	A1	20050817	EP 2003-716433	20030321
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

PRIORITY APPLN. INFO.: US 2002-424488P P 20021107
WO 2003-US7284 W 20030321

OTHER SOURCE(S): CASREACT 140:423864; MARPAT 140:423864
GI



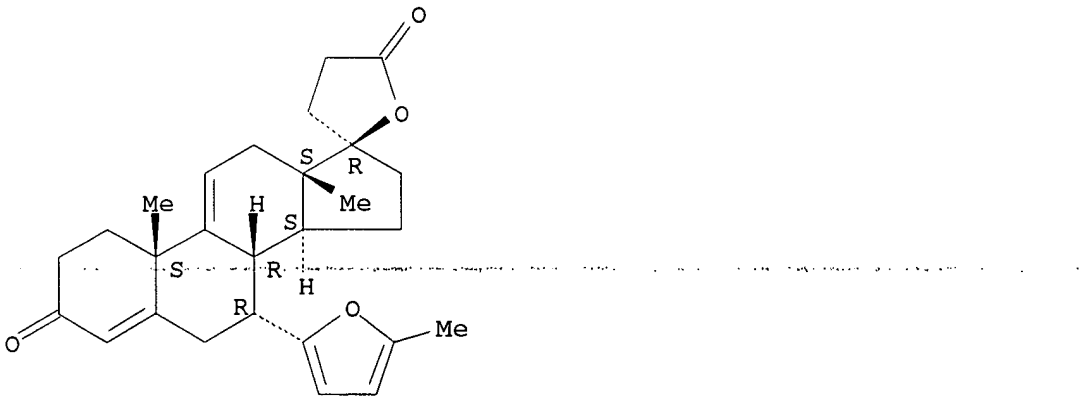
AB The present invention discloses a process for the transformation of 5-androsten-3β-ol-17-one (I) to C-7 substituted steroids, such as II [R1 = H, COR2; R2 = alkyl, alkoxy; R3 = H, OR1; R17R18 = O, lactone; Y = CN, CH2CH:CH2, 5-(C1-6-alkyl)-2-furyl, 1-(C1-6-alkyl)-2-pyrrolyl, CHR4C(O)aryl, CHR4C(O)alkyl, CHR4C(O)X-aryl, CHR4C(O)X-alkyl; R4 = alkyl, aryl; X = O, S, dashed bond = single bond or double bond]. Thus, bioconversion of I to 5-androsten-3β,7β-diol-17-one (III) was performed using a submerged culture of Diplodia gossypina ATCC 20571. III was subsequently converted to 5-androsten-3β,7β,11α-triol-17-one (IV) using a submerged culture of Aspergillus ochraceus ATCC 18500. IV can also be obtained from II using a submerged culture of Absidia coerulea ATCC 6647. These intermediates are useful in the preparation of eplerenone (V).

IT **610785-40-5P 610785-47-2P 690958-83-9P 690958-98-6P**
RL: BMF (Bioindustrial manufacture); BPN (Biosynthetic preparation); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation of C-7 substituted steroids from 5-androsten-3β-ol-17-one)

RN 610785-40-5 HCAPLUS

CN Pregna-4,9(11)-diene-21-carboxylic acid, 17-hydroxy-7-(5-methyl-2-furanyl)-3-oxo-, γ-lactone, (7α,17α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

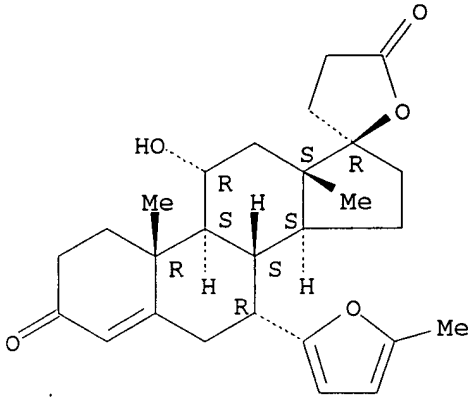


RN 610785-47-2 HCAPLUS

CN Pregn-4-ene-21-carboxylic acid, 11,17-dihydroxy-7-(5-methyl-2-furanyl)-3-oxo-, γ-lactone, (7α,11α,17α)- (9CI) (CA INDEX

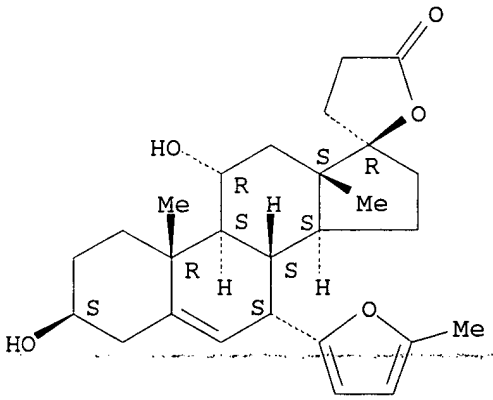
NAME)

Absolute stereochemistry.



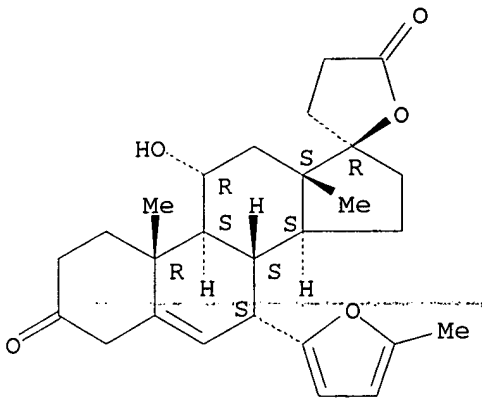
RN 690958-83-9 HCAPLUS
CN Pregn-5-ene-21-carboxylic acid, 3,11,17-trihydroxy-7-(5-methyl-2-furanyl)-, γ-lactone, (3β,7α,11α,17α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 690958-98-6 HCAPLUS
CN Pregn-5-ene-21-carboxylic acid, 11,17-dihydroxy-7-(5-methyl-2-furanyl)-3-oxo-, γ-lactone, (7α,11α,17α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L22 ANSWER 4 OF 4 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:796726 HCAPLUS
DOCUMENT NUMBER: 139:307925
TITLE: Process to prepare eplerenone and its intermediates from Δ9-canrenone and other pregnanes
INVENTOR(S): Pearlman, Bruce Allen; Padilla, Amphlett Greg; Havens, Jeffrey L.; Mackey, Sonja S.; Wu, Haifeng
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
SOURCE: PCT Int. Appl., 429 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003082895	A2	20031009	WO 2003-US7793	20030321
WO 2003082895	A3	20040422		
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US 2003232981	A1	20031218	US 2003-392833	20030321
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EP 1487859	A2	20041222	EP 2003-745535	20030321
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JP 2005523306	T2	20050804	JP 2003-580359	20030321
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US 2004127702	A1	20040701	US 2003-666175	20030919
EP 1539795	A1	20050615	EP 2003-774488	20030919

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PRIORITY APPLN. INFO.:	US 2002-366784P	P	20020322
	US 2002-411874P	P	20020919
	US 2002-425596P	P	20021112
	US 2003-392833	A	20030321
	WO 2003-US7793	W	20030321
	WO 2003-US29923	W	20030919

OTHER SOURCE(S): CASREACT 139:307925; MARPAT 139:307925
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

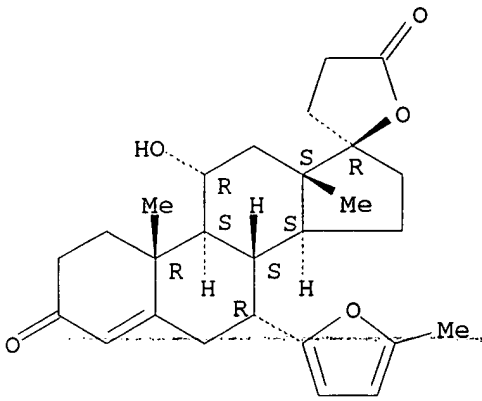
AB The present invention involves novel intermediates I [R9 = H, OH, O-PG, F; PG = SiMe3, SiEt3, Ac, CHO; R11 = :O, H2, αR11-1βR11-2, R11-5R11-6; R11-1 = H, OR11-3; R11-2 = H, OR11-4; R11-3 = H, PG; R11-4 = H, PG; R11-5R9 = bond, R11-6 = H or R11-6R9 = bond, R11-5 = H; R11-7R9 = O; R11-8 = H; R17 = :O, αR17-1βR17-2, αR17-3βR17-4, αR17-5βR17-6, αR17-7βR17-8, OCH(OR17-9)CH2CH2, αR17-11βR17-12; R17-1 = H, C.tplbond.CH, CN, C.tplbond.CCH2αR17-1-1, C.tplbond.CCH2O-PG, CH2CH2CO2-; R17-2 = OH; R17-3 = OH; R17-4 = COMe, COCH2OH, COCH2OC(:O)(CH2)0-3Me; R17-5R17-6 = α-CH2O-β; R17-7R17-8 = α-OC(:O)CH2CH2-β; R17-9 = H, C1-3-alkyl; R17-11 = (CH2)1-2CH:CH2; R17-12 = OH; R17-1-1 = H, Si(R17-1-2)3; R17-1-2 = C1-4-alkyl, CH(OEt)Me, THP], including an 7α-substituted steroid, and various novel processes which are used to prepare known intermediates useful in the production of eplerenone, a pharmaceutical agent. Thus, pregnadienone spirolactone II was prepared from Δ9-canrenone (III) via conjugate addition of 2-methylfuran in MeNO2 containing BF3·OEt2, ring cleavage with dibromantin in aqueous THF containing KOAc, ozonolysis (O3/O2) in CH2Cl2/O2(CHMe2)2 with Me2S quenching in CHCl3 and oxidation in CHCl3 with H2O2 in H2O containing KHCO3.

IT **610785-47-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation and dehydration of; preparation of eplerenone and its intermediates
from Δ9-canrenone and other pregnanes)

RN 610785-47-2 HCAPLUS

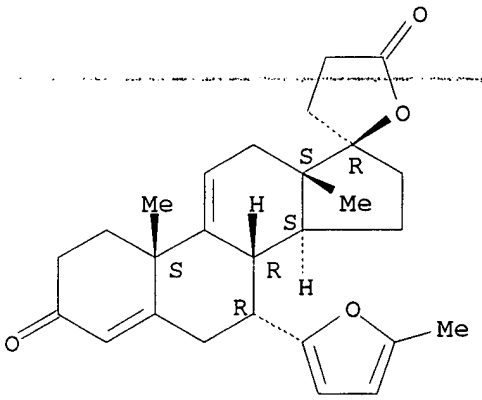
CN Pregn-4-ene-21-carboxylic acid, 11,17-dihydroxy-7-(5-methyl-2-furanyl)-3-oxo-, γ-lactone, (7α,11α,17α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **610785-40-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation and ring cleavage of, with dibromantin; preparation of eplerenone
and its intermediates from Δ9-canrenone and other pregnanes)
RN 610785-40-5 HCAPLUS
CN Pregna-4,9(11)-diene-21-carboxylic acid, 17-hydroxy-7-(5-methyl-2-furanyl)-3-oxo-, γ-lactone, (7α,17α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **610785-48-3P 610785-51-8P 610785-52-9P**
610785-53-0P 610785-54-1P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of eplerenone and its intermediates from Δ9-canrenone and other pregnanes)
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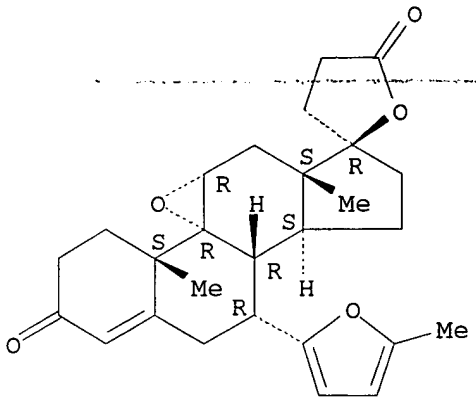
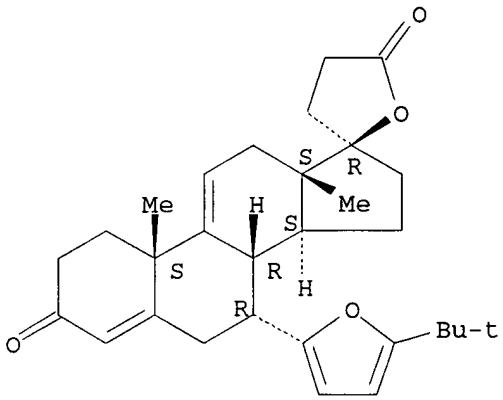
CN Pregn-4-ene-21-carboxylic acid, 9,11-epoxy-17-hydroxy-7-(5-methyl-2-furanyl)-3-oxo-, γ-lactone, (7α,11α,17α)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



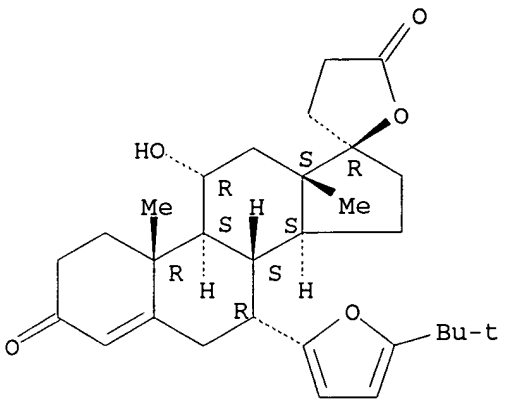
RN 610785-51-8 HCAPLUS
CN Pregna-4,9(11)-diene-21-carboxylic acid, 7-[5-(1,1-dimethylethyl)-2-furanyl]-17-hydroxy-3-oxo-, γ-lactone, (7α,17α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



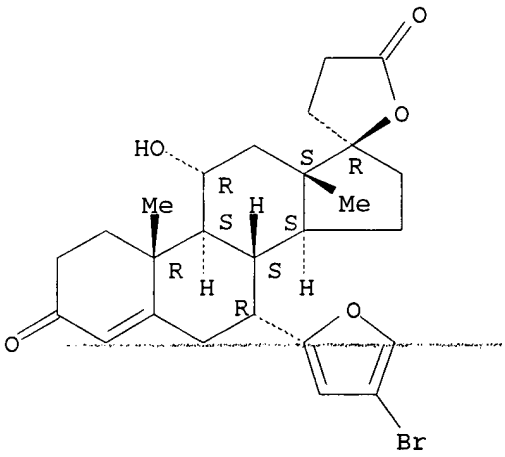
RN 610785-52-9 HCAPLUS
CN Pregn-4-ene-21-carboxylic acid, 7-[5-(1,1-dimethylethyl)-2-furanyl]-11,17-dihydroxy-3-oxo-, γ-lactone, (7α,11α,17α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



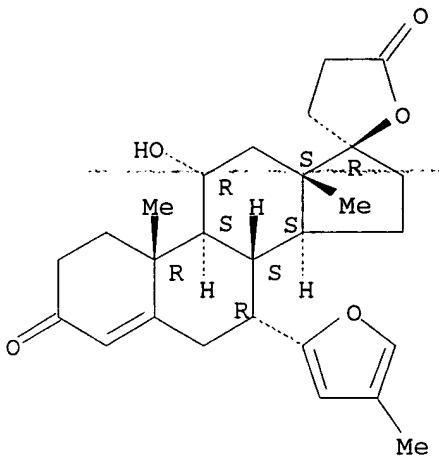
RN 610785-53-0 HCAPLUS
CN Pregn-4-ene-21-carboxylic acid, 7-(4-bromo-2-furanyl)-11,17-dihydroxy-3-oxo-, γ-lactone, (7α,11α,17α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 610785-54-1 HCAPLUS
CN Pregn-4-ene-21-carboxylic acid, 11,17-dihydroxy-7-(4-methyl-2-furanyl)-3-oxo-, γ-lactone, (7α,11α,17α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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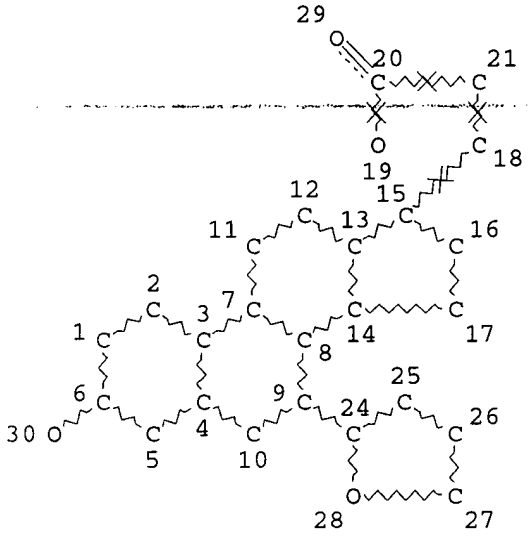

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GRAPH ATTRIBUTES:
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NUMBER OF NODES IS 28

STEREO ATTRIBUTES: NONE
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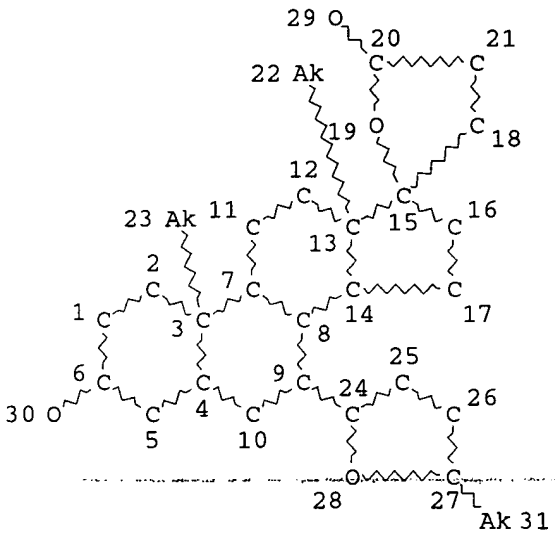

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STEREO ATTRIBUTES: NONE
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L27 1 SEA FILE=MARPAT ABB=ON PLU=ON L26 NOT L22

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L27 ANSWER 1 OF 1 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 139:307923 MARPAT
TITLE: C-17 spirolactonization and 6,7 oxidation of steroids
INVENTOR(S): Miller, Paula C.; Pozzo, Mark J.; Chou, Shine K.
PATENT ASSIGNEE(S): Pharmacia Corporation, USA
SOURCE: PCT Int. Appl., 169 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003082894	A2	20031009	WO 2003-US7792	20030321
WO 2003082894	A3	20040415		

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LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
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FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
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CA 2480151	AA	20031009	CA 2003-2480151	20030321	
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US 2004024202	A1	20040205	US 2003-392857	20030321	
EP 1490390	A2	20041229	EP 2003-716548	20030321	

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BR 2003008660	A	20050125	BR 2003-8660	20030321
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US 2004127702	A1	20040701	US 2003-666175	20030919
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PRIORITY APPLN. INFO.:	US 2002-366784P 20020322
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OTHER SOURCE(S): CASREACT 139:307923
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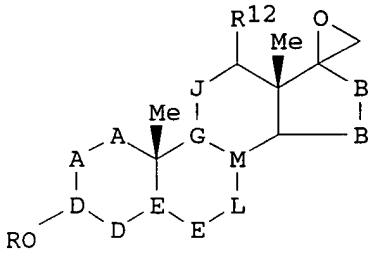

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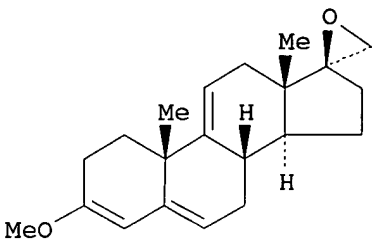

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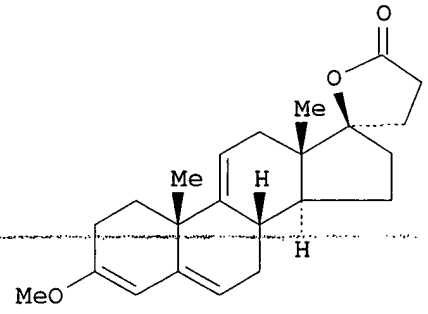

III

AB The steroids I (R = alkyl; A-A = CHR1-CHR2, CR1=CR2; B-B = CHR15-CHR16; G-J = CR9-CHR11 or C=CR11; D-D = CH-CHR4, C=CR4; E-E = CH-CHR6 or C=CR6; L-M = CHR7-CH, CR7=C; R12, R1, R2, R15, R16, R9, R11, R4, R6, R7 = H, halo, OH, alkyl, alkoxy, acyl, HOCH2, alkoxyalkyl, hydroxycarbonyl, alkoxycarbonyl, acyloxyalkyl, cyano, nitro, thioalkyl, aryl, aryloxy) in prepared via processes for the C-17 spirolactonization and 6,7 oxidation of steroid compds. In certain preferred embodiments, the present invention provides for the preparation of steroid compds. which are useful in the preparation of Me hydrogen 9,11α-epoxy-17α-hydroxy-3-oxopregn-4-ene-7α,21-dicarboxylate γ-lactone (otherwise referred to as eplerenone or epoxymexrenone). Thus, treatment of 3-methoxyandrosta-3,5,9(11)-trien-17-one with trimethylsulfonium methylsulfate in a reactor gave the oxirane derivative II, which reacted with di-Et malonate followed by decarboxylation to give the lactone III, which was converted to Δ9(11)-canrenone by an oxidation process using chloranil.

MSTR 1

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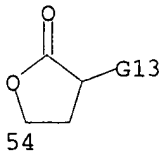

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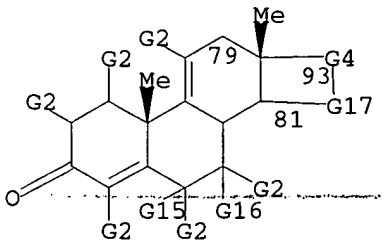

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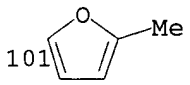

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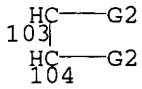

Patent location: claim 1
Note: also incorporates claims 79, 82, 121, 124 and 187